

CLAIMS

We claim:

1. A composition comprising racemic 3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone.

5 2. A composition comprising (S)-(+)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone in enantiomeric excess.

3. A composition according to Claim 2, wherein said (S)-(+)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone represents at least 90% of the monochloroflosequinan in the composition.

10 4. A composition according to Claim 2, wherein said (S)-(+)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone represents at least 95% of the monochloroflosequinan in the composition.

5. A composition comprising (R)-(-)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone in enantiomeric excess.

15 6. A composition according to Claim 5, wherein said (R)-(-)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone represents at least 90% of the monochloroflosequinan in the composition.

20 7. A composition according to Claim 5, wherein said (R)-(-)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone represents at least 95% of the monochloroflosequinan in the composition.

8. A composition comprising 3-chloromethylsulfonyl-7-fluoro-1-methyl-4-quinolone.

9. A composition comprising 3-chloromethylthio-7-fluoro-1-methyl-4-quinolone.

10. A method, comprising:

a) providing:

i) flosequinan, and

ii) triphenyl phosphine; and

b) reacting said flosequinan and triphenyl phosphine in an organic solvent under conditions such that desoxyflosequinan (7-fluoro-1-methyl-3-methylthio-4-quinolone) is produced; and

c) further reacting said desoxyflosequinan with N-chlorosuccinimide and 2,2'-azobisisobutyronitrile in an organic solvent under conditions such that chlorodesoxyflosequinan (3-chloromethylthio-7-fluoro-1-methyl-4-quinolone) is produced.

11. The method of Claim 10, wherein said organic solvent in said reacting step b) is selected from the group consisting of carbon tetrachloride, xylene and toluene.

12. The method of Claim 10, wherein said providing step a) optionally provides iii) a catalyst, and said reacting step b) occurs in the presence of said catalyst.

13. The method of Claim 12, wherein said organic solvent in said reacting step b) is selected from the group consisting of xylene and toluene.

14. The method of Claim 12, wherein said catalyst is tetrabromomethane.

15. The method of Claim 10, wherein said organic solvent in step c) is selected from the group consisting of carbon tetrachloride and benzene.

16. A method, comprising:

a) providing:

i) flosequinan,

ii) thionyl chloride, and

iii) pyridine; and

b) reacting said flosequinan, thionyl chloride and pyridine in an organic solvent under conditions such that chlorodesoxyflosequinan (3-chloromethylthio-7-fluoro-1-methyl-4-quinolone) is produced.

17. A method, comprising:

a) providing:

i) chlorodesoxyflosequinan (3-chloromethylthio-7-fluoro-1-methyl-4-quinolone),

ii) hydrogen peroxide, and

iii) potassium carbonate; and

b) reacting said chlorodesoxyflosequinan, hydrogen peroxide and potassium carbonate in a solvent under conditions such that monochloroflosequinan (3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone) is produced.

18. A method, comprising:

a) providing:

i) flosequinan, and

ii) N-chlorosuccinimide; and

b) reacting said flosequinan and N-chlorosuccinimide in an organic solvent under conditions such that monochloroflosequinan (3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone) is produced.

19. The method of Claim 18, wherein said organic solvent is selected from the group consisting of carbon tetrachloride and benzene.

20. The method of Claim 19, wherein when said organic solvent is carbon tetrachloride, said reacting step b) additionally includes 2,2'-azobisisobutyronitrile.

21. A method, comprising:

a) providing:

5 i) chlorodesoxyflosequinan (3-chloromethylthio-7-fluoro-1-methyl-4-quinolone), and

ii) a camphor based reagent; and

b) reacting said chlorodesoxyflosequinan and camphor based reagent in an organic solvent under conditions such that an enantiomer of
10 monochloroflosequinan is produced in enantiomeric excess.

22. The method of Claim 21, wherein said camphor based reagent is (R)-(-)-(10-camphorsulfonyl) oxaziridine.

23. The method of Claim 21, wherein said camphor based reagent is (S)-(+)-(10-camphorsulfonyl) oxaziridine.

15 24. The method of Claim 22, wherein said enantiomer of monochloroflosequinan is (S)-(+)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone.

20 25. The method of Claim 23, wherein said enantiomer of monochloroflosequinan is (R)-(-)-3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone.

26. A method, comprising:

a) providing:

i) monochloroflosequinan (3-chloromethylsulfinyl-7-fluoro-1-methyl-4-quinolone), and

ii) m-chloroperoxybenzoic acid; and

b) reacting said monochloroflosequinan and m-chloroperoxybenzoic acid in an organic solvent under conditions such that monochloroflosequinan sulfone (3-chloromethylsulfonyl-7-fluoro-1-methyl-4-quinolone) is produced.